

Product Data Sheet

Product Name: CLK1-IN-3

Cat. No.: GC73224

Chemical Properties

Cas. No. 2922550-28-3

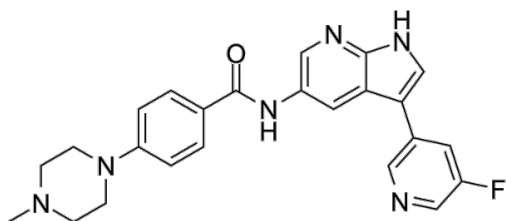
Formula $C_{24}H_{23}FN_6O$ M.Wt 430.48

Solubility DMSO : 100 mg/mL (232.30 mM; Need ultrasonic) Storage 4°C, sealed storage, away from moisture

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

CLK1-IN-3 (compound 10ad) is a potent and selective Clk1 inhibitor, with an IC₅₀ of 5 nM and over

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300-fold selectivity for Dyrk1A. CLK1-IN-3 also shows a relatively potent inhibition against Clk2 and Clk4, with IC₅₀ values of 42 and 108 nM, respectively. CLK1-IN-3 potently induces autophagy in vitro. CLK1-IN-3 can be used for acute liver injury (ALI) research.

CLK1-IN-3 (compound 10ad) shows potential in anti-tumor because of dual inhibition of Clk1 and Clk2[1]. CLK1-IN-3 (10 µM-1000 µM) can effectively bind to Clk1 protein and inhibit its degradation in a dose-dependent manner[1]. CLK1-IN-3 (0-10 µM, 24 h) induces autophagy in Hela, BNLCL.2 and HCT 116 cells[1]. CLK1-IN-3 stimulates the degradation of SQSTM1/p62 (a marker of autolysosomes)[1].

CLK1-IN-3 (compound 10ad) (0-40 mg/kg, IP, once) significantly suppresses acute liver injury (ALI) without apparent liver cell death in the ALI model induced by acetaminophen [1]. CLK1-IN-3 (10 mg/kg; IV, PO, IP, once) shows acceptable pharmacokinetic profile, has a relatively long T_{1/2} with 5.29 h and oral bioavailability of 19.5%[1].

References:

[1]. Yang T, et al. Rational design and appraisal of selective Cdc2-Like kinase 1 (Clk1) inhibitors as novel autophagy inducers for the treatment of acute liver injury (ALI). Eur J Med Chem. 2023 Mar 15;250:115168.

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